

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re application of :
Yasuo OHTSUKA et al. :
Serial No. NEW : **Attn: Application Branch**
Filed February 13, 2002 : **Attorney Docket No. 2002_0194**

TRICYCLIC TRIAZOLOBENZAZEPINE
DERIVATIVES, PROCESS FOR PRODUCING
THE SAME, AND ANTIALLERGIC AGENTS
(Rule 1.53(b) Divisional
of Serial No. 09/509,494,
Filed March 29, 2000)

THE COMMISSIONER IS AUTHORIZED
TO CHARGE ANY DEFICIENCY IN THE
FEES FOR THIS PAPER TO DEPOSIT
ACCOUNT NO. 23-0975

PRELIMINARY AMENDMENT

Assistant Commissioner for Patents,
Washington, DC 20231

Sir:

Please amend the above-identified application as follows:

IN THE SPECIFICATION

Page 1, after the title of the invention, please insert the following paragraph:

This application is a divisional application of Serial No. 09/509,494 filed March 29, 2000, now allowed, which is a 371 application of PCT/JP98/04363 filed September 29, 1998.

Please rewrite the paragraphs from page 19, line 27 to page 20, line 3 as follows:

R⁴¹ and R⁴² represent preferably C₁₋₄ alkoxy, more preferably methoxy or isopropoxy. Still more preferably, R⁴¹ represents methoxy, and R⁴² represents methoxy or isopropoxy.

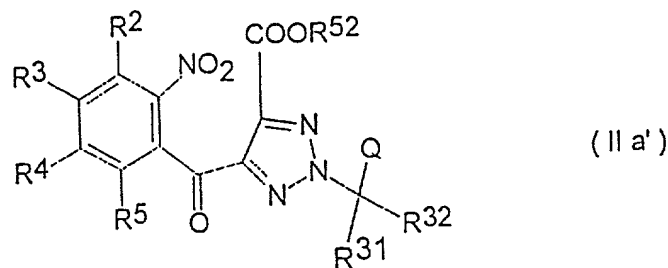
A group of preferred compounds represented by formula (Ia) include a group of compounds wherein R⁴¹ and R⁴² represent C₁₋₆ alkoxy (preferably C₁₋₄ alkoxy, more preferably methoxy or isopropoxy), and Q represents group (i) (preferably, R³³ represents optionally C₁₋₄ alkoxy-substituted C₁₋₄ alkyl).

IN THE CLAIMS

Cancel, without prejudice to the subject matter involved, claims 1-18.

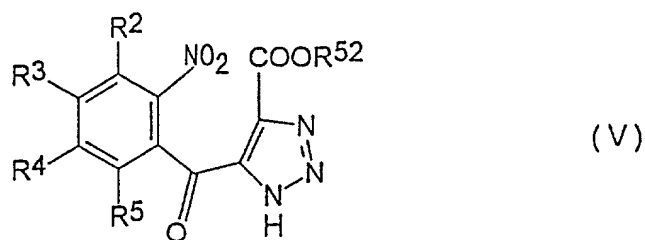
Please amend claims 19-30 as follows:

19. (Amended) A process for preparing a compound represented by formula (IIa')



wherein Q represents group (i) as defined in claim 1 and R^2 to R^5 , R^{31} , R^{32} , and R^{52} are as defined above, which comprises the steps of:

(1) reacting a compound represented by formula (V)



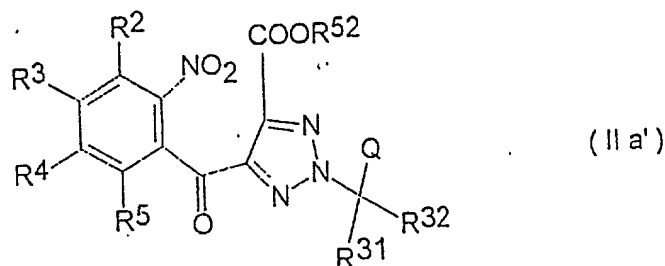
wherein R^2 to R^5 and R^{52} are as defined above,

with a compound represented by $R^{31}R^{32}C=O$ wherein R^{31} and R^{32} are as defined above in claim 1;

(2) reacting the compound prepared in step (1) with a compound represented by $R^{71}-C(=O)-R^{72}$ wherein R^{71} and R^{72} each independently represent a chlorine atom, 4-nitrophenyl, or 1-imidazolyl; and

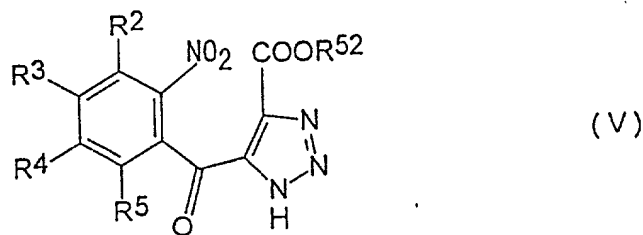
(3) reacting the compound prepared in step (2) with a compound represented by $R^{33}OH$ wherein R^{33} is as defined in claim 1.

20. (Amended) A process for preparing a compound represented by formula (IIa')



wherein Q represents the group (i) as defined in claim 1 and R^2 to R^5 , R^{31} , R^{32} , and R^{52} are as defined above, which comprises the steps of:

(1) reacting a compound represented by formula (V)

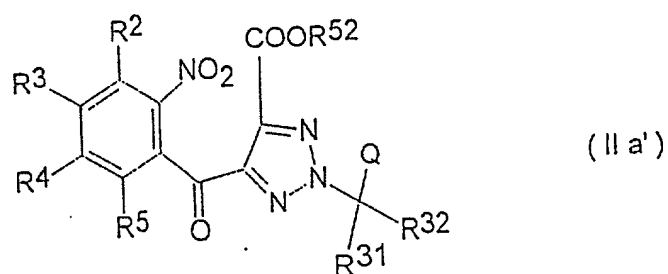


wherein R^2 to R^5 and R^{52} are as defined above,

with a compound represented by $R^{31}R^{32}C=O$ wherein R^{31} and R^{32} are as defined in claim 1; and

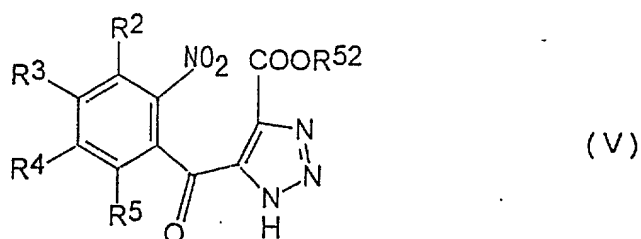
(2) reacting the compound prepared in step (1) with a compound represented by $HalCOOR^{33}$ wherein Hal represents a halogen atom and R^{33} is as defined in claim 1, in the presence of an alkali metal carbonate and an alkali metal iodide.

21. (Amended) A process for preparing a compound represented by formula (IIa')

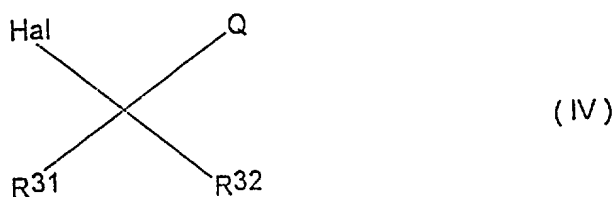


wherein Q represents group (i) as defined in claim 1 and R^2 to R^5 , R^{31} , R^{32} , and R^{52} are as defined above, which comprises the step of

reacting a compound represented by formula (V)

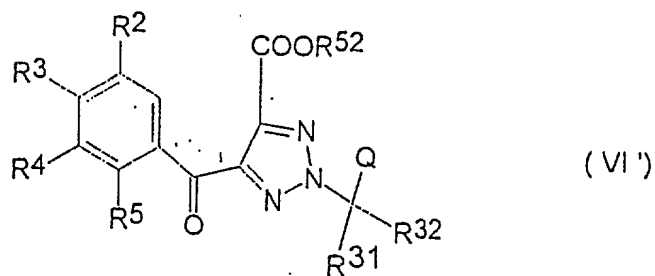


wherein R^2 to R^5 and R^{52} are as defined above,
with a compound represented by formula (IV)



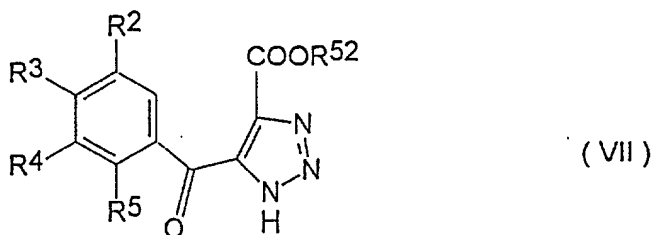
wherein Hal represents a halogen atom, Q represents the group (i) as defined in claim 1, and R^{31} and R^{32} are as defined above, in the presence of an inorganic base and an alkali metal iodide.

22. (Amended) A process for producing a compound represented by formula (VI')



wherein Q represents the group (i) as defined in claim 1, R^2 to R^5 , R^{31} , R^{32} , and R^{52} are as defined above, which comprises the steps of:

(1) reacting a compound represented by formula (VII)

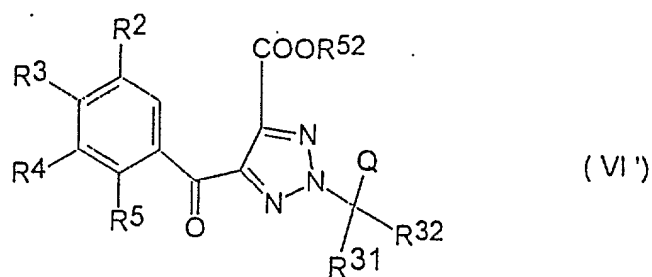


wherein R^2 to R^5 and R^{52} are as defined above, with a compound represented by $R^{31}R^{32}C=O$ wherein R^{31} and R^{32} are as defined in claim 1;

(2) reacting the compound prepared in step (1) with a compound represented by $R^{71}-C(=O)-R^{72}$ wherein R^{71} and R^{72} each independently represent a chlorine atom, 4-nitrophenyl, or 1-imidazolyl; and

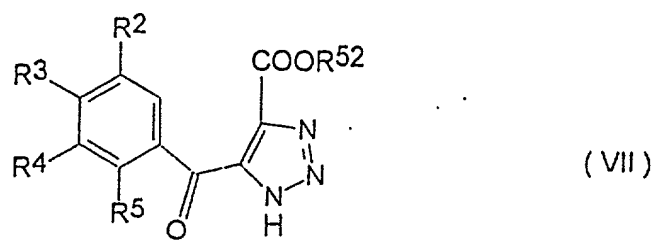
(3) reacting the compound prepared in step (2) with a compound represented by $R^{33}OH$ wherein R^{33} is as defined in claim 1.

23. (Amended) A process for preparing a compound represented by formula (VI')



wherein Q represents group (i) as defined in claim 1, R^2 to R^5 , R^{31} , R^{32} , and R^{52} are as defined above, which comprises the steps of:

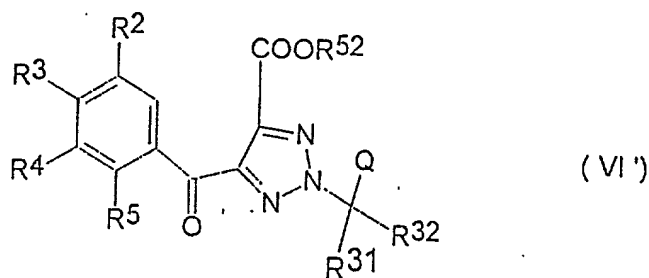
(1) reacting a compound represented by formula (VII)



wherein R^2 to R^5 and R^{52} are as defined above, with a compound represented by $R^{31}R^{32}C=O$ wherein R^{31} and R^{32} are as defined in claim 1; and

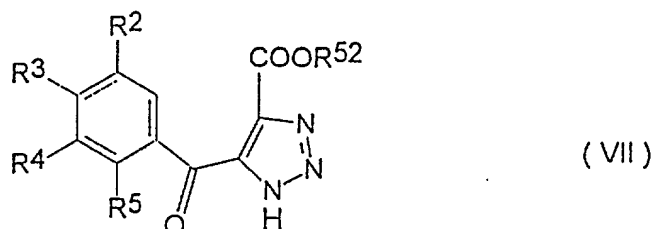
(2) reacting the compound prepared in step (1) with a compound represented by $HalCOOR^{33}$ wherein Hal represents a halogen atom and R^{33} is as defined in claim 1, in the presence of an alkali metal carbonate and an alkali metal iodide.

24. (Amended) A process for producing a compound represented by formula (VI')



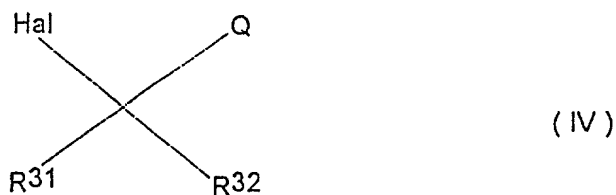
wherein Q represents group (i) as defined in claim 1, R^2 to R^5 , R^{31} , R^{32} , and R^{52} are as defined above, which comprises the step of

reacting a compound represented by formula (VII)



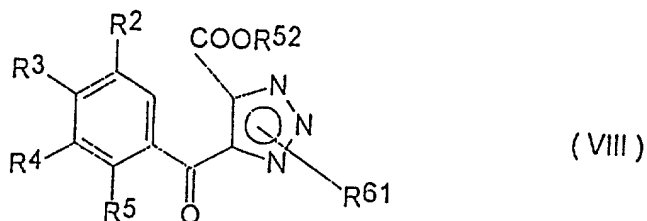
wherein R^2 to R^5 and R^{52} are as defined above,

with a compound represented by formula (IV)



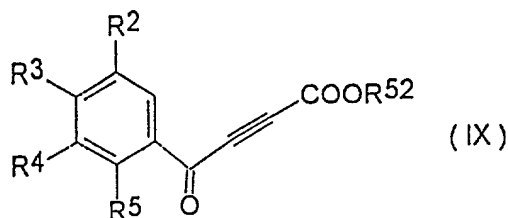
wherein Hal represents a halogen atom, Q represents the group (i) as defined in claim 1, and R^{31} and R^{32} are as defined above, in the presence of an inorganic base and an alkali metal iodide.

25. (Amended) A process for preparing a compound represented by formula (VIII)



wherein R^2 to R^5 , R^{52} , and R^{61} are as defined above, which comprises the step of

(a) reacting a compound represented by formula (IX)



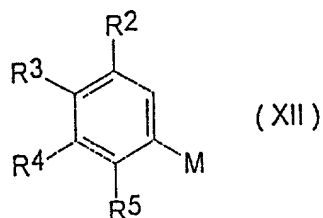
wherein R^2 to R^5 and R^{52} are as defined above,

with a compound represented by formula (X)



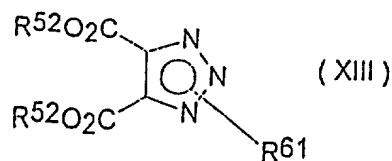
wherein R^{61} is as defined above, or

(b) reacting a compound represented by formula (XII)



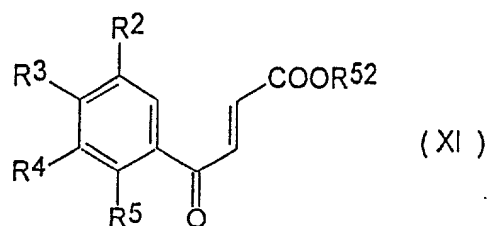
wherein M represents lithium, magnesium chloride, magnesium bromide, magnesium iodide, zinc bromide, zinc iodide, cadmium bromide, iodide cadmium, or copper and R^2 to R^5 are as defined in claim 1,

with a compound represented by formula (XIII)



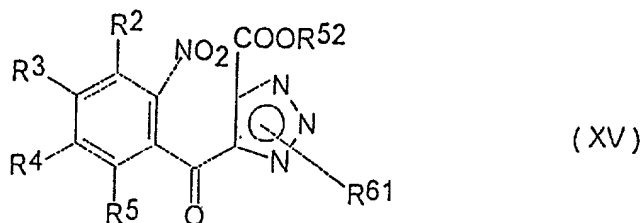
wherein R^{52} and R^{61} are as defined above.

26. (Amended) A process according to claim 25, which further comprises the step of, prior to the reaction of the compound represented by formula (IX) with the compound represented by formula (X) in step (a), dehydrogenating a compound represented by formula (XI)

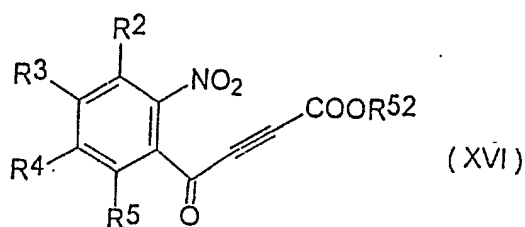


wherein R^2 to R^5 and R^{52} are as defined above,
to produce the compound represented by formula (IX).

27. (Amended) A process for producing a compound represented by formula (XV)



wherein R^2 to R^5 , R^{52} , and R^{61} are as defined above, which comprises the step of
reacting a compound represented by formula (XVI)

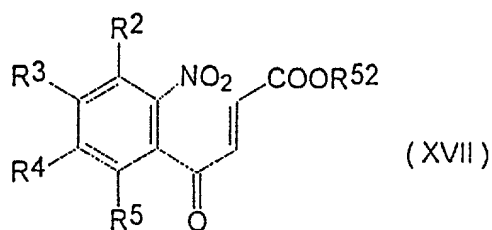


wherein R^2 to R^5 , and R^{52} are as defined above,
with a compound represented by formula (X)



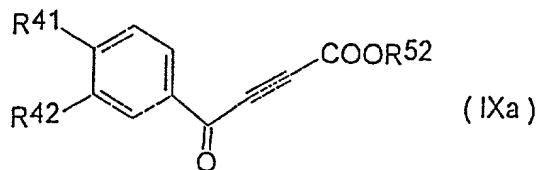
wherein R^{61} is as defined in claim 18.

28. (Amended) A process according to claim 27, which further comprises the step of,
prior to the reaction of the compound represented by formula (XVI) with the compound
represented by formula (X), a compound represented by formula (XVII)



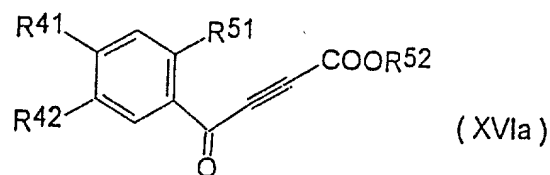
wherein R^2 to R^5 and R^{52} are as defined above,
is dehydrogenated to produce the compound represented by formula (XVI).

29. (Amended) A compound represented by formula (IXa) or a salt or solvate thereof



wherein R^{41} , R^{42} , and R^{52} are as defined in claim 6, provided that R^{41} and/or R^{42} do not represent a
hydrogen atom.

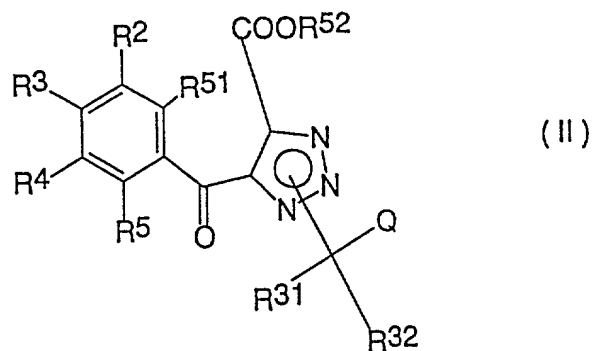
30. (Amended) A compound represented by formula (XVIa) or a salt or solvate thereof



wherein R^{41} , R^{42} , R^{51} , and R^{52} are as defined in claim 6.

Please add new claims 31-36 as follows:

31. (New) A compound represented by formula (II) or a salt or solvate thereof:



wherein R^2 , R^3 , R^4 , and R^5 , which may be the same or different, represent any one of the following (a) to (n):

- (a) a hydrogen atom;
- (b) a halogen atom;
- (c) an optionally protected hydroxyl group;
- (d) formyl;
- (e) C_{1-12} alkyl which may be substituted by a halogen atom;

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(f) C₂₋₁₂ alkenyl which has one or more carbon-carbon double bonds and may be substituted by

- (1) a halogen atom,
- (2) cyano,
- (3) -COR⁹ wherein R⁹ represents a hydrogen atom or C₁₋₆ alkyl,
- (4) -COOR¹⁰ wherein R¹⁰ represents a hydrogen atom or C₁₋₆ alkyl,
- (5) -CONR¹¹R¹² wherein R¹¹ and R¹², which may be the same or different, represent

(i) a hydrogen atom,

(ii) C₁₋₆ alkyl which may be substituted by amino optionally substituted by C₁₋₄ alkyl, phenyl optionally substituted by C₁₋₄ alkyl which may be substituted by a saturated five- to seven-membered heterocyclic ring containing one or two nitrogen atoms (the nitrogen atoms may be substituted by C₁₋₄ alkyl), or a saturated or unsaturated five- to seven-membered heterocyclic ring,

(iii) phenyl which may be substituted by carboxyl, or

(iv) a saturated or unsaturated five to seven-membered heterocyclic ring,

(6) a saturated or unsaturated five- to seven-membered heterocyclic ring which may be substituted by C₁₋₄ alkyl or may form a bicyclic ring fused with another ring;

(g) C₁₋₁₂ alkoxy which may be substituted by

- (1) a halogen atom,
- (2) a hydroxyl group,
- (3) cyano,

- (4) C₃₋₇ cycloalkyl,
- (5) phenyl,
- (6) C₁₋₄ alkoxy,
- (7) phenoxy,
- (8) amino which may be substituted by C₁₋₄ alkyl,
- (9) -COR¹³ wherein R¹³ represents a hydrogen atom, C₁₋₆ alkyl, phenyl optionally substituted by halogen or C₁₋₄ alkoxy, or phenyl C₁₋₄ alkyl,
- (10) -COOR¹⁴ wherein R¹⁴ represents a hydrogen atom or C₁₋₆ alkyl,
- (11) -CONR¹⁵R¹⁶ wherein R¹⁵ and R¹⁶, which may be the same or different, represent a hydrogen atom or C₁₋₆ alkyl which may be substituted by a saturated or unsaturated five- to seven-membered heterocyclic ring, or
- (12) a saturated or unsaturated five- to seven-membered heterocyclic ring which may be substituted by C₁₋₄ alkyl or phenyl C₁₋₄ alkyl;
- (h) -C=N-OR^{16a} wherein R^{16a} represents a hydrogen atom, C₁₋₆ alkyl, phenyl C₁₋₄ alkyl, or phenyl;
- (i) -(CH₂)_mOR¹⁷ wherein m is an integer of 0 to 4, and R¹⁷ represents a hydrogen atom, C₁₋₆ alkyl, or phenyl C₁₋₄ alkyl of which one or more hydrogen atoms on the benzene ring may be substituted by C₁₋₄ alkyl;
- (j) -(CH₂)_k-COR¹⁸ wherein k is an integer of 1 to 4, and R¹⁸ represents a hydrogen atom or C₁₋₄ alkyl;
- (k) -(CH₂)_j-COOR¹⁹ wherein j is an integer of 0 to 4, and R¹⁹ represents a hydrogen atom or C₁₋₆ alkyl;
- (l) -(CH₂)_p-NR²⁰R²¹ wherein p is an integer of 1 to 4, and R²⁰ and R²¹, which may be the same or different, represent

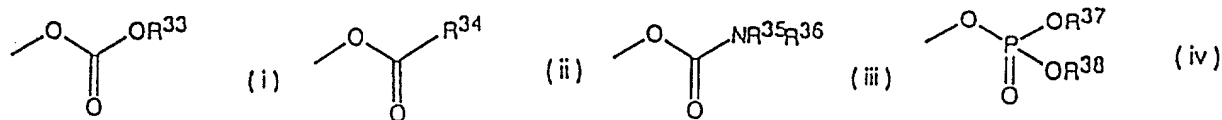
- (1) a hydrogen atom,
(2) C₁₋₆ alkyl which may be substituted by amino optionally substituted by C₁₋₄ alkyl,
(3) phenyl C₁₋₄ alkyl,
(4) -COR²² wherein R²² represents a hydrogen atom or C₁₋₄ alkyl which may be substituted by carboxyl, or
(5) -SO₂R²³ wherein R²³ represents C₁₋₄ alkyl or phenyl which may be substituted by a halogen atom;

(m) -(CH₂)_q-CONR²⁴R²⁵ wherein q is an integer of 0 to 4, and R²⁴ and R²⁵, which may be the same or different, represent a hydrogen atom, a saturated or unsaturated five- to seven-membered heterocyclic ring, or C₁₋₆ alkyl which may be substituted by a saturated or unsaturated five- to seven-membered heterocyclic ring, or alternatively R²⁴ and R²⁵ may form a saturated or unsaturated five- to seven-membered heterocyclic ring together with a nitrogen atom to which they are attached (the heterocyclic ring may further contain at least one oxygen, nitrogen, or sulfur atom, may form a bicyclic ring fused with another ring, or may be substituted by C₁₋₄ alkyl); and

(n) -NR²⁶R²⁷ wherein R²⁶ and R²⁷, which may be the same or different, represent a hydrogen atom or -COR²⁸ wherein R²⁸ represents a hydrogen atom, C₁₋₆ alkyl, or phenyl which may be substituted by C₁₋₄ alkyl or C₁₋₆ alkoxy optionally substituted by phenyl;

R³¹ and R³², which may be the same or different, represent a hydrogen atom or C₁₋₆ alkyl which may be substituted by a halogen atom; and

Q represents a group selected from the following groups (i) to (iv) or a halogen atom or C₁₋₆ alkoxy:



wherein

R³³ represents

C₁₋₆ alkyl which may be substituted by C₁₋₆ alkoxy optionally substituted by C₁₋₆ alkoxy, phenyl optionally substituted by C₁₋₆ alkoxy, amino, or nitro, or a saturated or unsaturated five- to seven-membered heterocyclic ring optionally substituted by C₁₋₆ alkoxy, amino, or nitro,

phenyl which may be substituted by C₁₋₆ alkoxy, amino, or nitro, or

a saturated or unsaturated five- to seven-membered heterocyclic ring which may be substituted by C₁₋₆ alkoxy, amino, or nitro, or

R³³ may form C₁₋₄ alkylene together with R³¹ or R³²,

R³⁴ represents

C₁₋₆ alkyl which may be substituted by a halogen atom, carboxyl, phenyl optionally substituted by C₁₋₆ alkoxy, amino, or nitro, or a saturated or unsaturated five- to seven-membered heterocyclic ring optionally substituted by C₁₋₆ alkoxy, amino, or nitro,

phenyl which may be substituted by C₁₋₆ alkoxy, amino, or nitro, or

a saturated or unsaturated five- to seven-membered heterocyclic ring which may be substituted by C₁₋₆ alkoxy, amino, or nitro,

R³⁵ and R³⁶, which may be the same or different, represent a hydrogen atom or C₁₋₆ alkyl which may be

substituted by amino optionally substituted by C₁₋₆ alkyl
or

R³⁵ and R³⁶ may form a saturated or unsaturated five-
to seven-membered heterocyclic ring together with a
nitrogen atom to which they are attached, and

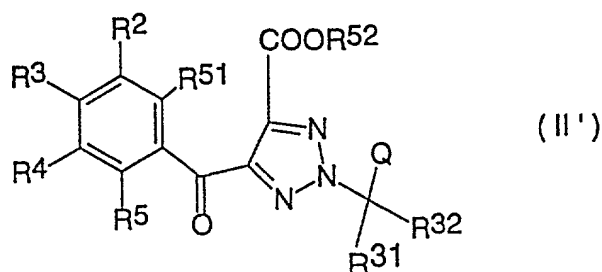
R³⁷ and R³⁸, which may be the same or different,
represent C₁₋₆ alkyl,

R⁵¹ represents nitro or amino, and

R⁵² represents a hydrogen atom or a protective group for carboxyl,

provided that the group -CR³¹R³²Q does not represent C₁₋₆ alkyl substituted by a halogen
atom or C₁₋₆ alkoxy.

32. (New) A compound represented by formula (II') or a salt or solvate thereof:



wherein R², R³, R⁴, and R⁵, which may be the same or different,
represent any one of the following (a) to (n):

- (a) a hydrogen atom;
- (b) a halogen atom;
- (c) an optionally protected hydroxyl group;
- (d) formyl;
- (e) C₁₋₁₂ alkyl which may be substituted by a halogen
atom;

(f) C₂₋₁₂ alkenyl which has one or more carbon-carbon double bonds and may be substituted by

- (1) a halogen atom,
- (2) cyano,
- (3) -COR⁹ wherein R⁹ represents a hydrogen atom or C₁₋₆ alkyl,
- (4) -COOR¹⁰ wherein R¹⁰ represents a hydrogen atom or C₁₋₆ alkyl,
- (5) -CONR¹¹R¹² wherein R¹¹ and R¹², which may be the same or different, represent

- (i) a hydrogen atom,
- (ii) C₁₋₆ alkyl which may be substituted by amino optionally substituted by C₁₋₄ alkyl, phenyl optionally substituted by C₁₋₄ alkyl which may be substituted by a saturated five- to seven-membered heterocyclic ring containing one or two nitrogen atoms (the nitrogen atoms may be substituted by C₁₋₄ alkyl), or a saturated or unsaturated five- to seven-membered heterocyclic ring,

(iii) phenyl which may be substituted by carboxyl, or

(iv) a saturated or unsaturated five to seven-membered heterocyclic ring,

(6) a saturated or unsaturated five- to seven-membered heterocyclic ring which may be substituted by C₁₋₄ alkyl or may form a bicyclic ring fused with another ring;

(g) C₁₋₁₂ alkoxy which may be substituted by

- (1) a halogen atom,
- (2) a hydroxyl group,
- (3) cyano,

- (4) C_{1-7} cycloalkyl,
- (5) phenyl,
- (6) C_{1-4} alkoxy,
- (7) phenoxy,
- (8) amino which may be substituted by C_{1-4} alkyl,
- (9) $-COR^{13}$ wherein R^{13} represents a hydrogen atom, C_{1-6} alkyl, phenyl optionally substituted by halogen or C_{1-4} alkoxy, or phenyl C_{1-4} alkyl,
- (10) $-COOR^{14}$ wherein R^{14} represents a hydrogen atom or C_{1-6} alkyl,
- (11) $-CONR^{15}R^{16}$ wherein R^{15} and R^{16} , which may be the same or different, represent a hydrogen atom or C_{1-6} alkyl which may be substituted by a saturated or unsaturated five- to seven-membered heterocyclic ring, or
- (12) a saturated or unsaturated five- to seven-membered heterocyclic ring which may be substituted by C_{1-4} alkyl or phenyl C_{1-4} alkyl;
- (h) $-C=N-OR^{16a}$ wherein R^{16a} represents a hydrogen atom, C_{1-6} alkyl, phenyl C_{1-4} alkyl, or phenyl;
- (i) $-(CH_2)_mOR^{17}$ wherein m is an integer of 0 to 4, and R^{17} represents a hydrogen atom, C_{1-6} alkyl, or phenyl C_{1-4} alkyl of which one or more hydrogen atoms on the benzene ring may be substituted by C_{1-4} alkyl;
- (j) $-(CH_2)_k-COR^{18}$ wherein k is an integer of 1 to 4, and R^{18} represents a hydrogen atom or C_{1-4} alkyl;
- (k) $-(CH_2)_j-COOR^{19}$ wherein j is an integer of 0 to 4, and R^{19} represents a hydrogen atom or C_{1-6} alkyl;
- (l) $-(CH_2)_p-NR^{20}R^{21}$ wherein p is an integer of 1 to 4, and R^{20} and R^{21} , which may be the same or different, represent

(1) a hydrogen atom,

(2) C₁₋₆ alkyl which may be substituted by amino optionally substituted by C₁₋₄ alkyl,

(3) phenyl C₁₋₄ alkyl,

(4) -COR²² wherein R²² represents a hydrogen atom or C₁₋₄ alkyl which may be substituted by carboxyl, or

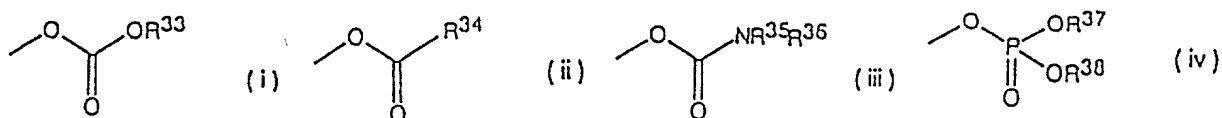
(5) -SO₂R²³ wherein R²³ represents C₁₋₄ alkyl or phenyl which may be substituted by a halogen atom;

(m) -(CH₂)_q-CONR²⁴R²⁵ wherein q is an integer of 0 to 4, and R²⁴ and R²⁵, which may be the same or different, represent a hydrogen atom, a saturated or unsaturated five- to seven-membered heterocyclic ring, or C₁₋₆ alkyl which may be substituted by a saturated or unsaturated five- to seven-membered heterocyclic ring, or alternatively R²⁴ and R²⁵ may form a saturated or unsaturated five- to seven-membered heterocyclic ring together with a nitrogen atom to which they are attached (the heterocyclic ring may further contain at least one oxygen, nitrogen, or sulfur atom, may form a bicyclic ring fused with another ring, or may be substituted by C₁₋₄ alkyl); and

(n) -NR²⁶R²⁷ wherein R²⁶ and R²⁷, which may be the same or different, represent a hydrogen atom or -COR²⁸ wherein R²⁸ represents a hydrogen atom, C₁₋₆ alkyl, or phenyl which may be substituted by C₁₋₄ alkyl or C₁₋₆ alkoxy optionally substituted by phenyl;

R³¹ and R³², which may be the same or different, represent a hydrogen atom or C₁₋₆ alkyl which may be substituted by a halogen atom; and

Q represents a group selected from the following groups (i) to (iv) or a halogen atom or C₁₋₆ alkoxy:



wherein

R³³ represents

C₁₋₆ alkyl which may be substituted by C₁₋₆ alkoxy optionally substituted by C₁₋₆ alkoxy, phenyl optionally substituted by C₁₋₆ alkoxy, amino, or nitro, or a saturated or unsaturated five- to seven-membered heterocyclic ring optionally substituted by C₁₋₆ alkoxy, amino, or nitro,

phenyl which may be substituted by C₁₋₆ alkoxy, amino, or nitro, or

a saturated or unsaturated five- to seven-membered heterocyclic ring which may be substituted by C₁₋₆ alkoxy, amino, or nitro, or

R³³ may form C₁₋₄ alkylene together with R³¹ or R³²,

R³⁴ represents

C₁₋₁₆ alkyl which may be substituted by a halogen atom, carboxyl, phenyl optionally substituted by C₁₋₆ alkoxy, amino, or nitro, or a saturated or unsaturated five- to seven-membered heterocyclic ring optionally substituted by C₁₋₆ alkoxy, amino, or nitro,

phenyl which may be substituted by C₁₋₆ alkoxy, amino, or nitro, or

a saturated or unsaturated five- to seven-membered heterocyclic ring which may be substituted by C₁₋₆ alkoxy, amino, or nitro,

R³⁵ and R³⁶, which may be the same or different, represent a hydrogen atom or C₁₋₆ alkyl which may be

substituted by amino optionally substituted by C₁₋₄ alkyl
or

R³⁵ and R³⁶ may form a saturated or unsaturated five-
to seven-membered heterocyclic ring together with a
nitrogen atom to which they are attached, and

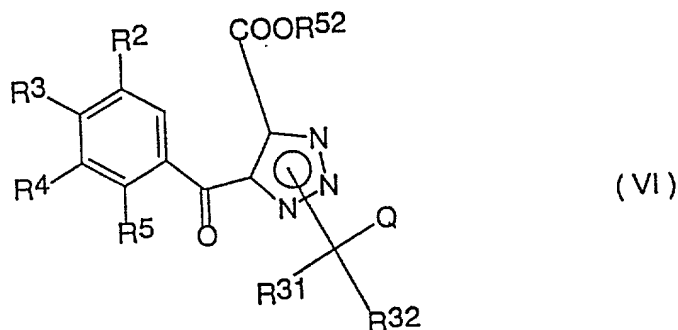
R³⁷ and R³⁸, which may be the same or different,
represent C₁₋₆ alkyl,

R⁵¹ represents nitro or amino, and

R⁵² represents a hydrogen atom or a protective group for carboxyl,

provided that the group -CR³¹R³²Q does not represent C₁₋₆ alkyl substituted by a halogen
atom or C₁₋₆ alkoxy.

33. (New) A compound represented by formula (VI) or a salt or solvate thereof:



wherein R², R³, R⁴, and R⁵, which may be the same or different,
represent any one of the following (a) to (n):

- (a) a hydrogen atom;
- (b) a halogen atom;
- (c) an optionally protected hydroxyl group;
- (d) formyl;
- (e) C₁₋₁₂ alkyl which may be substituted by a halogen
atom;

(f) C₁₋₁₂ alkenyl which has one or more carbon-carbon double bonds and may be substituted by

- (1) a halogen atom,
- (2) cyano,
- (3) -COR⁹ wherein R⁹ represents a hydrogen atom or C₁₋₆ alkyl,
- (4) -COOR¹⁰ wherein R¹⁰ represents a hydrogen atom or C₁₋₆ alkyl,
- (5) -CONR¹¹R¹² wherein R¹¹ and R¹², which may be the same or different, represent

(i) a hydrogen atom,

(ii) C₁₋₆ alkyl which may be substituted by amino optionally substituted by C₁₋₄ alkyl, phenyl optionally substituted by C₁₋₄ alkyl which may be substituted by a saturated five- to seven-membered heterocyclic ring containing one or two nitrogen atoms (the nitrogen atoms may be substituted by C₁₋₄ alkyl), or a saturated or unsaturated five- to seven-membered heterocyclic ring,

(iii) phenyl which may be substituted by carboxyl, or

(iv) a saturated or unsaturated five to seven-membered heterocyclic ring,

(6) a saturated or unsaturated five- to seven-membered heterocyclic ring which may be substituted by C₁₋₄ alkyl or may form a bicyclic ring fused with another ring;

(g) C₁₋₁₂ alkoxy which may be substituted by

- (1) a halogen atom,
- (2) a hydroxyl group,
- (3) cyano,

- (4) C₃₋₇ cycloalkyl,
(5) phenyl,
(6) C₁₋₄ alkoxy,
(7) phenoxy,
(8) amino which may be substituted by C₁₋₄ alkyl,
(9) -COR¹³ wherein R¹³ represents a hydrogen atom, C₁₋₆ alkyl, phenyl optionally substituted by halogen or C₁₋₄ alkoxy, or phenyl C₁₋₄ alkyl,

(10) -COOR¹⁴ wherein R¹⁴ represents a hydrogen atom or C₁₋₆ alkyl,

(11) -CONR¹⁵R¹⁶ wherein R¹⁵ and R¹⁶, which may be the same or different, represent a hydrogen atom or C₁₋₆ alkyl which may be substituted by a saturated or unsaturated five- to seven-membered heterocyclic ring, or

(12) a saturated or unsaturated five- to seven-membered heterocyclic ring which may be substituted by C₁₋₄ alkyl or phenyl C₁₋₄ alkyl;

(h) -C=N-OR^{16a} wherein R^{16a} represents a hydrogen atom, C₁₋₆ alkyl, phenyl C₁₋₄ alkyl, or phenyl;

(i) -(CH₂)_mOR¹⁷ wherein m is an integer of 0 to 4, and R¹⁷ represents a hydrogen atom, C₁₋₆ alkyl, or phenyl C₁₋₄ alkyl of which one or more hydrogen atoms on the benzene ring may be substituted by C₁₋₄ alkyl;

(j) -(CH₂)_k-COR¹⁸ wherein k is an integer of 1 to 4, and R¹⁸ represents a hydrogen atom or C₁₋₄ alkyl;

(k) -(CH₂)_j-COOR¹⁹ wherein j is an integer of 0 to 4, and R¹⁹ represents a hydrogen atom or C₁₋₆ alkyl;

(l) -(CH₂)_p-NR²⁰R²¹ wherein p is an integer of 1 to 4, and R²⁰ and R²¹, which may be the same or different, represent

(1) a hydrogen atom,

(2) C₁₋₆ alkyl which may be substituted by amino optionally substituted by C₁₋₄ alkyl,

(3) phenyl C₁₋₄ alkyl,

(4) -COR²² wherein R²² represents a hydrogen atom or C₁₋₄ alkyl which may be substituted by carboxyl, or

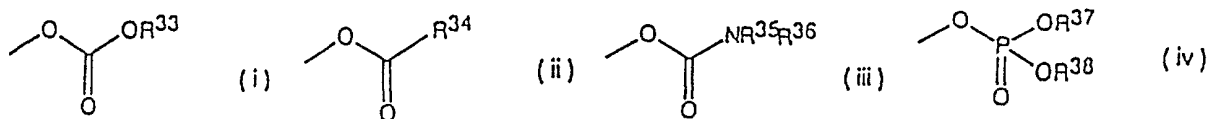
(5) -SO₂R²³ wherein R²³ represents C₁₋₄ alkyl or phenyl which may be substituted by a halogen atom;

(m) -(CH₂)_q-CONR²⁴R²⁵ wherein q is an integer of 0 to 4, and R²⁴ and R²⁵, which may be the same or different, represent a hydrogen atom, a saturated or unsaturated five- to seven-membered heterocyclic ring, or C₁₋₆ alkyl which may be substituted by a saturated or unsaturated five- to seven-membered heterocyclic ring, or alternatively R²⁴ and R²⁵ may form a saturated or unsaturated five- to seven-membered heterocyclic ring together with a nitrogen atom to which they are attached (the heterocyclic ring may further contain at least one oxygen, nitrogen, or sulfur atom, may form a bicyclic ring fused with another ring, or may be substituted by C₁₋₄ alkyl); and

(n) -NR²⁶R²⁷ wherein R²⁶ and R²⁷, which may be the same or different, represent a hydrogen atom or -COR²⁸ wherein R²⁸ represents a hydrogen atom, C₁₋₆ alkyl, or phenyl which may be substituted by C₁₋₄ alkyl or C₁₋₆ alkoxy optionally substituted by phenyl;

R³¹ and R³², which may be the same or different, represent a hydrogen atom or C₁₋₆ alkyl which may be substituted by a halogen atom; and

Q represents a group selected from the following groups (i) to (iv) or a halogen atom or C₁₋₆ alkoxy:



wherein

R³³ represents

C₁₋₆ alkyl which may be substituted by C₁₋₆ alkoxy optionally substituted by C₁₋₆ alkoxy, phenyl optionally substituted by C₁₋₆ alkoxy, amino, or nitro, or a saturated or unsaturated five- to seven-membered heterocyclic ring optionally substituted by C₁₋₆ alkoxy, amino, or nitro,

phenyl which may be substituted by C₁₋₆ alkoxy, amino, or nitro, or

a saturated or unsaturated five- to seven-membered heterocyclic ring which may be substituted by C₁₋₆ alkoxy, amino, or nitro, or

R³³ may form C₁₋₄ alkylene together with R³¹ or R³²,

R³⁴ represents

C₁₋₆ alkyl which may be substituted by a halogen atom, carboxyl, phenyl optionally substituted by C₁₋₆ alkoxy, amino, or nitro, or a saturated or unsaturated five- to seven-membered heterocyclic ring optionally substituted by C₁₋₆ alkoxy, amino, or nitro,

phenyl which may be substituted by C₁₋₆ alkoxy, amino, or nitro, or

a saturated or unsaturated five- to seven-membered heterocyclic ring which may be substituted by C₁₋₆ alkoxy, amino, or nitro,

R³⁵ and R³⁶, which may be the same or different, represent a hydrogen atom or C₁₋₆ alkyl which may be

substituted by amino optionally substituted by C₁₋₄ alkyl
or

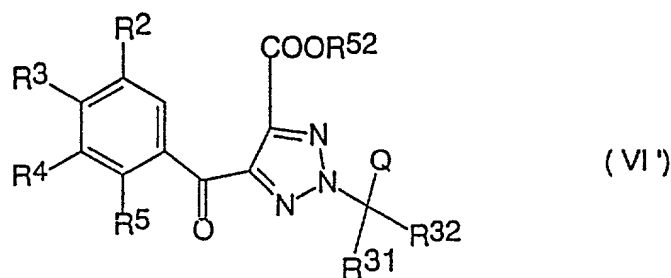
R³⁵ and R³⁶ may form a saturated or unsaturated five-
to seven-membered heterocyclic ring together with a
nitrogen atom to which they are attached, and

R³⁷ and R³⁸, which may be the same or different,
represent C₁₋₆ alkyl,

R⁵² represents a hydrogen atom or a protective group for carboxyl,

provided that the group -CR³¹R³²Q does not represent C₁₋₆ alkyl substituted by a halogen
atom or C₁₋₆ alkoxy.

34. (New) A compound represented by formula (VI') or a salt or solvate thereof:



wherein R², R³, R⁴, and R⁵, which may be the same or different,
represent any one of the following (a) to (n):

- (a) a hydrogen atom;
- (b) a halogen atom;
- (c) an optionally protected hydroxyl group;
- (d) formyl;
- (e) C₁₋₁₂ alkyl which may be substituted by a halogen
atom;

(f) C₂₋₁₂ alkenyl which has one or more carbon-carbon double bonds and may be substituted by

- (1) a halogen atom,
- (2) cyano,
- (3) -COR⁹ wherein R⁹ represents a hydrogen atom or C₁₋₆ alkyl,
- (4) -COOR¹⁰ wherein R¹⁰ represents a hydrogen atom or C₁₋₆ alkyl,
- (5) -CONR¹¹R¹² wherein R¹¹ and R¹², which may be the same or different, represent

- (i) a hydrogen atom,

- (ii) C₁₋₆ alkyl which may be substituted by amino optionally substituted by C₁₋₄ alkyl, phenyl optionally substituted by C₁₋₄ alkyl which may be substituted by a saturated five- to seven-membered heterocyclic ring containing one or two nitrogen atoms (the nitrogen atoms may be substituted by C₁₋₄ alkyl), or a saturated or unsaturated five- to seven-membered heterocyclic ring,

- (iii) phenyl which may be substituted by carboxyl, or

- (iv) a saturated or unsaturated five to seven-membered heterocyclic ring,

(6) a saturated or unsaturated five- to seven-membered heterocyclic ring which may be substituted by C₁₋₄ alkyl or may form a bicyclic ring fused with another ring;

(g) C₁₋₁₂ alkoxy which may be substituted by

- (1) a halogen atom,
- (2) a hydroxyl group,
- (3) cyano.

- (4) C_{3-7} cycloalkyl,
- (5) phenyl,
- (6) C_{1-4} alkoxy,
- (7) phenoxy,
- (8) amino which may be substituted by C_{1-4} alkyl,
- (9) $-COR^{13}$ wherein R^{13} represents a hydrogen atom, C_{1-6} alkyl, phenyl optionally substituted by halogen or C_{1-4} alkoxy, or phenyl C_{1-4} alkyl,
- (10) $-COOR^{14}$ wherein R^{14} represents a hydrogen atom or C_{1-6} alkyl,
- (11) $-CONR^{15}R^{16}$ wherein R^{15} and R^{16} , which may be the same or different, represent a hydrogen atom or C_{1-6} alkyl which may be substituted by a saturated or unsaturated five- to seven-membered heterocyclic ring, or
- (12) a saturated or unsaturated five- to seven-membered heterocyclic ring which may be substituted by C_{1-4} alkyl or phenyl C_{1-4} alkyl;
- (h) $-C=N-OR^{16a}$ wherein R^{16a} represents a hydrogen atom, C_{1-6} alkyl, phenyl C_{1-4} alkyl, or phenyl;
- (i) $-(CH_2)_mOR^{17}$ wherein m is an integer of 0 to 4, and R^{17} represents a hydrogen atom, C_{1-6} alkyl, or phenyl C_{1-4} alkyl of which one or more hydrogen atoms on the benzene ring may be substituted by C_{1-4} alkyl;
- (j) $-(CH_2)_k-COR^{18}$ wherein k is an integer of 1 to 4, and R^{18} represents a hydrogen atom or C_{1-4} alkyl;
- (k) $-(CH_2)_j-COOR^{19}$ wherein j is an integer of 0 to 4, and R^{19} represents a hydrogen atom or C_{1-6} alkyl;
- (l) $-(CH_2)_p-NR^{20}R^{21}$ wherein p is an integer of 1 to 4, and R^{20} and R^{21} , which may be the same or different, represent

(1) a hydrogen atom,

(2) C_{1-6} alkyl which may be substituted by amino optionally substituted by C_{1-4} alkyl,

(3) phenyl C_{1-4} alkyl,

(4) $-COR^{22}$ wherein R^{22} represents a hydrogen atom or C_{1-4} alkyl which may be substituted by carboxyl, or

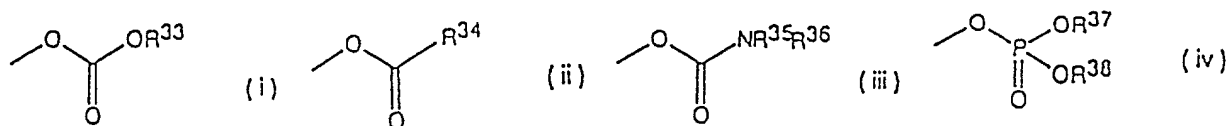
(5) $-SO_2R^{23}$ wherein R^{23} represents C_{1-4} alkyl or phenyl which may be substituted by a halogen atom;

(m) $-(CH_2)_q-CONR^{24}R^{25}$ wherein q is an integer of 0 to 4, and R^{24} and R^{25} , which may be the same or different, represent a hydrogen atom, a saturated or unsaturated five- to seven-membered heterocyclic ring, or C_{1-6} alkyl which may be substituted by a saturated or unsaturated five- to seven-membered heterocyclic ring, or alternatively R^{24} and R^{25} may form a saturated or unsaturated five- to seven-membered heterocyclic ring together with a nitrogen atom to which they are attached (the heterocyclic ring may further contain at least one oxygen, nitrogen, or sulfur atom, may form a bicyclic ring fused with another ring, or may be substituted by C_{1-4} alkyl); and

(n) $-NR^{26}R^{27}$ wherein R^{26} and R^{27} , which may be the same or different, represent a hydrogen atom or $-COR^{28}$ wherein R^{28} represents a hydrogen atom, C_{1-6} alkyl, or phenyl which may be substituted by C_{1-4} alkyl or C_{1-6} alkoxy optionally substituted by phenyl;

R^{31} and R^{32} , which may be the same or different, represent a hydrogen atom or C_{1-6} alkyl which may be substituted by a halogen atom; and

Q represents a group selected from the following groups (i) to (iv) or a halogen atom or C₁₋₆ alkoxy:



wherein

R³³ represents

C₁₋₆ alkyl which may be substituted by C₁₋₆ alkoxy optionally substituted by C₁₋₆ alkoxy, phenyl optionally substituted by C₁₋₆ alkoxy, amino, or nitro, or a saturated or unsaturated five- to seven-membered heterocyclic ring optionally substituted by C₁₋₆ alkoxy, amino, or nitro,

phenyl which may be substituted by C₁₋₆ alkoxy, amino, or nitro, or

a saturated or unsaturated five- to seven-membered heterocyclic ring which may be substituted by C₁₋₆ alkoxy, amino, or nitro, or

R³³ may form C₁₋₄ alkylene together with R³¹ or R³²,

R³⁴ represents

C₁₋₆ alkyl which may be substituted by a halogen atom, carboxyl, phenyl optionally substituted by C₁₋₆ alkoxy, amino, or nitro, or a saturated or unsaturated five- to seven-membered heterocyclic ring optionally substituted by C₁₋₆ alkoxy, amino, or nitro,

phenyl which may be substituted by C₁₋₆ alkoxy, amino, or nitro, or

a saturated or unsaturated five- to seven-membered heterocyclic ring which may be substituted by C₁₋₆ alkoxy, amino, or nitro,

R³⁵ and R³⁶, which may be the same or different, represent a hydrogen atom or C₁₋₆ alkyl which may be

substituted by amino optionally substituted by C₁₋₄ alkyl
or

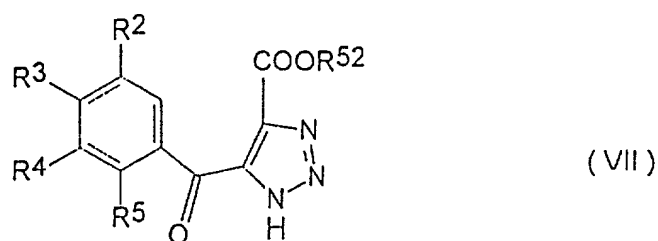
R³⁵ and R³⁶ may form a saturated or unsaturated five-
to seven-membered heterocyclic ring together with a
nitrogen atom to which they are attached, and

R³⁷ and R³⁸, which may be the same or different,
represent C₁₋₆ alkyl,

R⁵² represents a hydrogen atom or a protective group for carboxyl,

provided that the group -CR³¹R³²Q does not represent C₁₋₆ alkyl substituted by a halogen
atom or C₁₋₆ alkoxy.

35. (New) A compound represented by formula (VII) or a salt or solvate thereof:



wherein R², R³, R⁴, and R⁵, which may be the same or different,
represent any one of the following (a) to (n):

- (a) a hydrogen atom;
- (b) a halogen atom;
- (c) an optionally protected hydroxyl group;
- (d) formyl;
- (e) C₁₋₁₂ alkyl which may be substituted by a halogen
atom;

(f) C₂₋₁₂ alkenyl which has one or more carbon-carbon
double bonds and may be substituted by

- (1) a halogen atom,

(2) cyano,
(3) $-\text{COR}^9$ wherein R^9 represents a hydrogen atom or C_{1-6} alkyl,

(4) $-\text{COOR}^{10}$ wherein R^{10} represents a hydrogen atom or C_{1-6} alkyl,

(5) $-\text{CONR}^{11}\text{R}^{12}$ wherein R^{11} and R^{12} , which may be the same or different, represent

(i) a hydrogen atom,

(ii) C_{1-6} alkyl which may be substituted by amino optionally substituted by C_{1-4} alkyl, phenyl optionally substituted by C_{1-4} alkyl which may be substituted by a saturated five- to seven-membered heterocyclic ring containing one or two nitrogen atoms (the nitrogen atoms may be substituted by C_{1-4} alkyl), or a saturated or unsaturated five- to seven-membered heterocyclic ring,

(iii) phenyl which may be substituted by carboxyl, or

(iv) a saturated or unsaturated five to seven-membered heterocyclic ring,

(6) a saturated or unsaturated five- to seven-membered heterocyclic ring which may be substituted by C_{1-4} alkyl or may form a bicyclic ring fused with another ring;

(g) C_{1-12} alkoxy which may be substituted by

- (1) a halogen atom,
- (2) a hydroxyl group,
- (3) cyano,
- (4) C_{3-7} cycloalkyl,
- (5) phenyl,

(6) C_{1-4} alkoxy,

(7) phenoxy,

(8) amino which may be substituted by C_{1-4} alkyl,

(9) $-COR^{13}$ wherein R^{13} represents a hydrogen atom, C_{1-6} alkyl, phenyl optionally substituted by halogen or C_{1-4} alkoxy, or phenyl C_{1-4} alkyl,

(10) $-COOR^{14}$ wherein R^{14} represents a hydrogen atom or C_{1-6} alkyl,

(11) $-CONR^{15}R^{16}$ wherein R^{15} and R^{16} , which may be the same or different, represent a hydrogen atom or C_{1-6} alkyl which may be substituted by a saturated or unsaturated five- to seven-membered heterocyclic ring, or

(12) a saturated or unsaturated five- to seven-membered heterocyclic ring which may be substituted by C_{1-4} alkyl or phenyl C_{1-4} alkyl;

(h) $-C=N-OR^{16a}$ wherein R^{16a} represents a hydrogen atom, C_{1-6} alkyl, phenyl C_{1-4} alkyl, or phenyl;

(i) $-(CH_2)_mOR^{17}$ wherein m is an integer of 0 to 4, and R^{17} represents a hydrogen atom, C_{1-6} alkyl, or phenyl C_{1-4} alkyl of which one or more hydrogen atoms on the benzene ring may be substituted by C_{1-4} alkyl;

(j) $-(CH_2)_k-COR^{18}$ wherein k is an integer of 1 to 4, and R^{18} represents a hydrogen atom or C_{1-4} alkyl;

(k) $-(CH_2)_j-COOR^{19}$ wherein j is an integer of 0 to 4, and R^{19} represents a hydrogen atom or C_{1-6} alkyl;

(l) $-(CH_2)_p-NR^{20}R^{21}$ wherein p is an integer of 1 to 4, and R^{20} and R^{21} , which may be the same or different, represent

(1) a hydrogen atom,

(2) C₁₋₆ alkyl which may be substituted by amino optionally substituted by C₁₋₄ alkyl,

(3) phenyl C₁₋₄ alkyl,

(4) -COR²² wherein R²² represents a hydrogen atom or C₁₋₄ alkyl which may be substituted by carboxyl, or

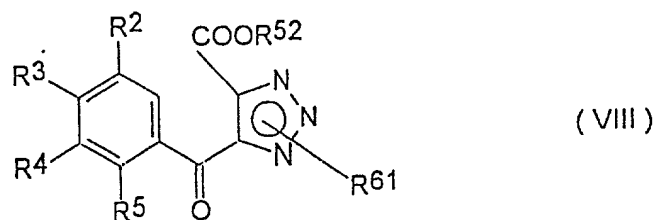
(5) -SO₂R²³ wherein R²³ represents C₁₋₄ alkyl or phenyl which may be substituted by a halogen atom;

(m) -(CH₂)_q-CONR²⁴R²⁵ wherein q is an integer of 0 to 4, and R²⁴ and R²⁵, which may be the same or different, represent a hydrogen atom, a saturated or unsaturated five- to seven-membered heterocyclic ring, or C₁₋₆ alkyl which may be substituted by a saturated or unsaturated five- to seven-membered heterocyclic ring, or alternatively R²⁴ and R²⁵ may form a saturated or unsaturated five- to seven-membered heterocyclic ring together with a nitrogen atom to which they are attached (the heterocyclic ring may further contain at least one oxygen, nitrogen, or sulfur atom, may form a bicyclic ring fused with another ring, or may be substituted by C₁₋₄ alkyl); and

(n) -NR²⁶R²⁷ wherein R²⁶ and R²⁷, which may be the same or different, represent a hydrogen atom or -COR²⁸ wherein R²⁸ represents a hydrogen atom, C₁₋₆ alkyl, or phenyl which may be substituted by C₁₋₄ alkyl or C₁₋₆ alkoxy optionally substituted by phenyl; and

R⁵² represents a hydrogen atom or a protective group for carboxyl.

36. (New) A compound represented by formula (VIII) or a salt or solvate thereof:



wherein R^2 , R^3 , R^4 , and R^5 , which may be the same or different, represent any one of the following (a) to (n):

- (a) a hydrogen atom;
- (b) a halogen atom;
- (c) an optionally protected hydroxyl group;
- (d) formyl;
- (e) C_{1-12} alkyl which may be substituted by a halogen atom;
- (f) C_{2-12} alkenyl which has one or more carbon-carbon double bonds and may be substituted by
 - (1) a halogen atom,
 - (2) cyano,
 - (3) $-COR^9$ wherein R^9 represents a hydrogen atom or C_{1-6} alkyl,
 - (4) $-COOR^{10}$ wherein R^{10} represents a hydrogen atom or C_{1-6} alkyl,
 - (5) $-CONR^{11}R^{12}$ wherein R^{11} and R^{12} , which may be the same or different, represent
 - (i) a hydrogen atom,
 - (ii) C_{1-6} alkyl which may be substituted by amino optionally substituted by C_{1-4} alkyl, phenyl optionally substituted by C_{1-4} alkyl which may be substituted by a saturated five- to seven-membered heterocyclic ring containing one or two nitrogen atoms (the

nitrogen atoms may be substituted by C₁₋₄ alkyl), or a saturated or unsaturated five- to seven-membered heterocyclic ring,

(iii) phenyl which may be substituted by carboxyl, or

(iv) a saturated or unsaturated five to seven-membered heterocyclic ring,

(6) a saturated or unsaturated five- to seven-membered heterocyclic ring which may be substituted by C₁₋₄ alkyl or may form a bicyclic ring fused with another ring;

(g) C₁₋₁₂ alkoxy which may be substituted by

(1) a halogen atom,

(2) a hydroxyl group,

(3) cyano,

(4) C₃₋₇ cycloalkyl,

(5) phenyl,

(6) C₁₋₄ alkoxy,

(7) phenoxy,

(8) amino which may be substituted by C₁₋₄ alkyl,

(9) -COR¹³ wherein R¹³ represents a hydrogen atom, C₁₋₆ alkyl, phenyl optionally substituted by halogen or C₁₋₄ alkoxy, or phenyl C₁₋₄ alkyl,

(10) -COOR¹⁴ wherein R¹⁴ represents a hydrogen atom or C₁₋₆ alkyl,

(11) -CONR¹⁵R¹⁶ wherein R¹⁵ and R¹⁶, which may be the same or different, represent a hydrogen atom or C₁₋₆ alkyl which may be substituted by a saturated or unsaturated five- to seven-membered heterocyclic ring, or

(12) a saturated or unsaturated five- to seven-membered heterocyclic ring which may be substituted by C₁₋₄ alkyl or phenyl C₁₋₄ alkyl;

(h) -C=N-OR^{16a} wherein R^{16a} represents a hydrogen atom, C₁₋₆ alkyl, phenyl C₁₋₄ alkyl, or phenyl;

(i) -(CH₂)_mOR¹⁷ wherein m is an integer of 0 to 4, and R¹⁷ represents a hydrogen atom, C₁₋₆ alkyl, or phenyl C₁₋₄ alkyl of which one or more hydrogen atoms on the benzene ring may be substituted by C₁₋₄ alkyl;

(j) -(CH₂)_k-COR¹⁸ wherein k is an integer of 1 to 4, and R¹⁸ represents a hydrogen atom or C₁₋₄ alkyl;

(k) -(CH₂)_j-COOR¹⁹ wherein j is an integer of 0 to 4, and R¹⁹ represents a hydrogen atom or C₁₋₆ alkyl;

(l) -(CH₂)_p-NR²⁰R²¹ wherein p is an integer of 1 to 4, and R²⁰ and R²¹, which may be the same or different, represent

(1) a hydrogen atom,

(2) C₁₋₆ alkyl which may be substituted by amino optionally substituted by C₁₋₄ alkyl,

(3) phenyl C₁₋₄ alkyl,

(4) -COR²² wherein R²² represents a hydrogen atom or C₁₋₄ alkyl which may be substituted by carboxyl, or

(5) -SO₂R²³ wherein R²³ represents C₁₋₄ alkyl or phenyl which may be substituted by a halogen atom;

(m) -(CH₂)_q-CONR²⁴R²⁵ wherein q is an integer of 0 to 4, and R²⁴ and R²⁵, which may be the same or different, represent a hydrogen atom, a saturated or unsaturated

five- to seven-membered heterocyclic ring, or C₁₋₆ alkyl which may be substituted by a saturated or unsaturated five- to seven-membered heterocyclic ring, or alternatively R²⁴ and R²⁵ may form a saturated or unsaturated five- to seven-membered heterocyclic ring together with a nitrogen atom to which they are attached (the heterocyclic ring may further contain at least one oxygen, nitrogen, or sulfur atom, may form a bicyclic ring fused with another ring, or may be substituted by C₁₋₄ alkyl); and

(n) -NR²⁶R²⁷ wherein R²⁶ and R²⁷, which may be the same or different, represent a hydrogen atom or -COR²⁸ wherein R²⁸ represents a hydrogen atom, C₁₋₆ alkyl, or phenyl which may be substituted by C₁₋₄ alkyl or C₁₋₆ alkoxy optionally substituted by phenyl;

R⁵² represents a hydrogen atom or a protective group for carboxyl; and

R⁶¹ represents a protective group for triazole.

Please replace the original Abstract with the new Abstract on the separate sheet submitted herewith.

REMARKS

The present application is being filed as a result of a restriction requirement in the parent application, for which a Notice of Allowance was issued November 30, 2001. The present divisional application is directed to the non-elected subject matter of original claims 13-30.

The specification has been amended to insert a cross-reference to the parent application and the international application on which the parent application is based. The specification has been further amended in the same manner as in the parent application. None of these amendments was objected to by the Examiner as constituting new matter.

Claims 19-30 have been amended to avoid their multiple dependency, to reduce the filing fee.

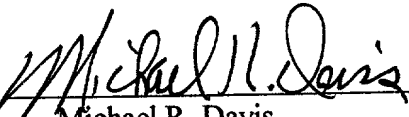
The Abstract has been amended to insert a period at the end, as required by the Examiner in the parent application.

Attached hereto is a marked-up version of the changes made to the Specification, claims and Abstract by the current amendment. The attached pages are captioned "**Version with markings to show changes made.**"

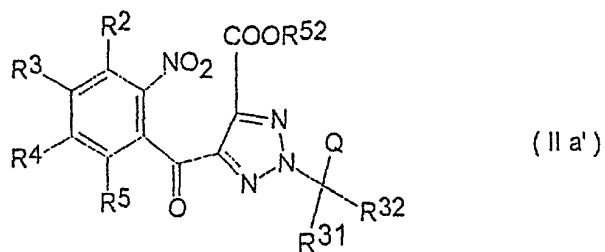
Claims 1-18 have been canceled, and new claims 31-36 have been added to the application. These new claims correspond to original claims 13-18 in independent form. Applicants note that the subject matter from claim 1 incorporated into the new claims is taken from allowed claim 1 of the parent application.

Respectfully submitted,

Yasuo OHTSUKA et al.

By 
Michael R. Davis
Registration No. 25,134
Attorney for Applicants

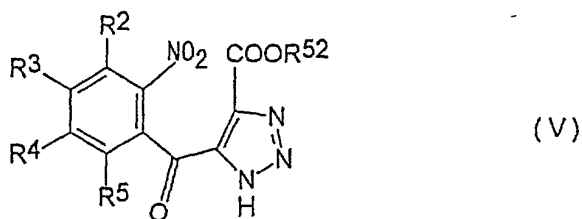
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Facsimile (202) 721-8250
February 13, 2002



wherein Q represents group (i) as defined in claim 1 and R² to R⁵, R³¹, R³², and R⁵² are as defined in ~~claims 1 and 13~~ ^{above}, which comprises the steps of:

(1) reacting a compound represented by formula

(V)



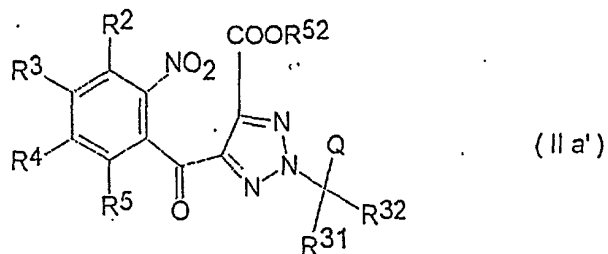
wherein R² to R⁵ and R⁵² are as defined in ~~claims 1 and 13~~ ^{above},

with a compound represented by R³¹R³²C=O wherein R³¹ and R³² are as defined above in claim 1;

(2) reacting the compound prepared in step (1) with a compound represented by R⁷¹-C(=O)-R⁷² wherein R⁷¹ and R⁷² each independently represent a chlorine atom, 4-nitrophenyl, or 1-imidazolyl; and

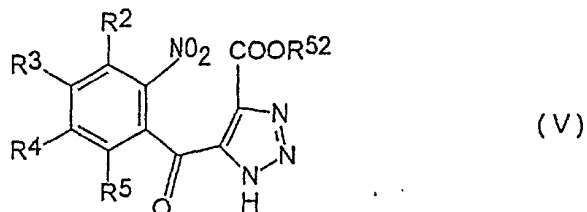
(3) reacting the compound prepared in step (2) with a compound represented by R³³OH wherein R³³ is as defined in claim 1.

20. A process for preparing a compound represented by formula (IIa')



wherein Q represents the group (i) as defined in claim 1 and R^2 to R^5 , R^{31} , R^{32} , and R^{52} are as defined ~~in~~ ^{above} claims ~~1 and 13~~, which comprises the steps of:

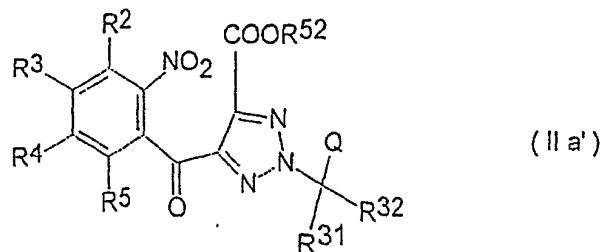
- (1) reacting a compound represented by formula (V)



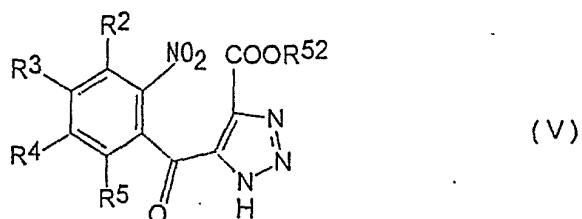
wherein R^2 to R^5 and R^{52} are as defined ~~in claims 1 and 13~~ ^{above}, with a compound represented by $R^{31}R^{32}C=O$ wherein R^{31} and R^{32} are as defined in claim 1; and

- (2) reacting the compound prepared in step (1) with a compound represented by $HalCOOR^{33}$ wherein Hal represents a halogen atom and R^{33} is as defined in claim 1, in the presence of an alkali metal carbonate and an alkali metal iodide.

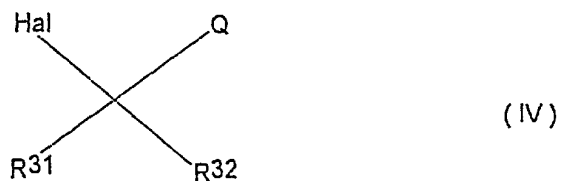
21. A process for preparing a compound represented by formula (IIa')



wherein Q represents group (i) as defined in claim 1
 and R² to R⁵, R³¹, R³², and R⁵² are as defined in ~~claims~~
^{above} ~~1 and 13~~, which comprises the step of
 reacting a compound represented by formula (V)



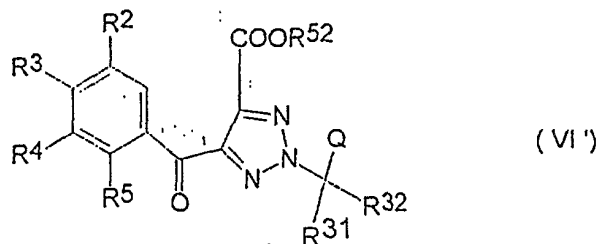
wherein R² to R⁵ and R⁵² are as defined in ~~claims 1 and~~
^{above} ~~13~~,
 with a compound represented by formula (IV)



wherein Hal represents a halogen atom, Q represents
 the group (i) as defined in claim 1, and R³¹ and R³²
 are as defined above, in the presence of an
 inorganic base and an alkali metal iodide.

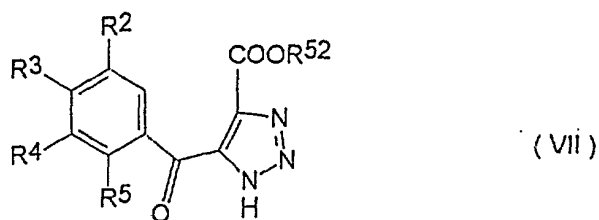
22. A process for producing a compound

represented by formula (VI')



wherein Q represents the group (i) as defined in claim 1; R² to R⁵, R³¹, R³², and R⁵² are as defined in ~~claims 1 and 19~~ ^{above}, which comprises the steps of:

(1) reacting a compound represented by formula (VII).

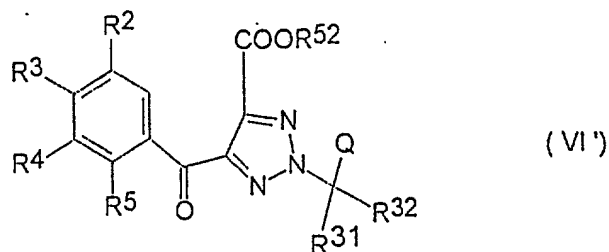


wherein R² to R⁵ and R⁵² are as defined in ~~claims 1 and 19~~ ^{above}, with a compound represented by R³¹R³²C=O wherein R³¹ and R³² are as defined in claim 1;

(2) reacting the compound prepared in step (1) with a compound represented by R⁷¹-C(=O)-R⁷² wherein R⁷¹ and R⁷² each independently represent a chlorine atom, 4-nitrophenyl, or 1-imidazolyl; and

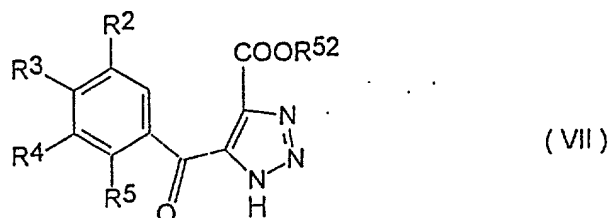
(3) reacting the compound prepared in step (2) with a compound represented by R³³OH wherein R³³ is as defined in claim 1.

23. A process for preparing a compound represented by formula (VI')



wherein Q represents group (i) as defined in claim 1, R² to R⁵, R³¹, R³², and R⁵² are as defined ~~in claims 1 and 13~~ ^{above}, which comprises the steps of:

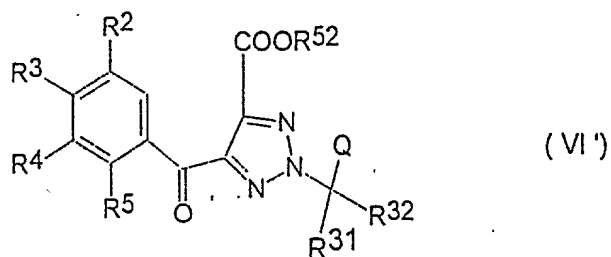
(1) reacting a compound represented by formula (VII)



wherein R² to R⁵ and R⁵² are as defined ~~in claims 1 and 13~~ ^{above}, with a compound represented by R³¹R³²C=O wherein R³¹ and R³² are as defined in claim 1; and

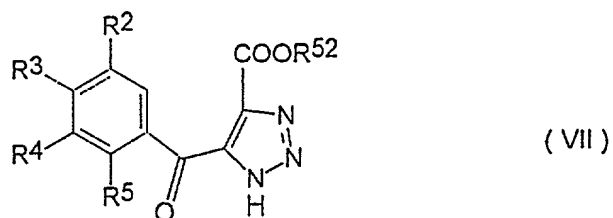
(2) reacting the compound prepared in step (1) with a compound represented by HalCOOR³³ wherein Hal represents a halogen atom and R³³ is as defined in claim 1, in the presence of an alkali metal carbonate and an alkali metal iodide.

24. A process for producing a compound represented by formula (VI')



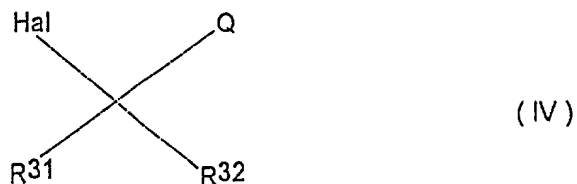
wherein Q represents group (i) as defined in claim 1,
^{above}
~~R² to R⁵, R³¹, R³², and R⁵² are as defined in claims 1 and 13,~~ which comprises the step of

reacting a compound represented by formula
 (VII)



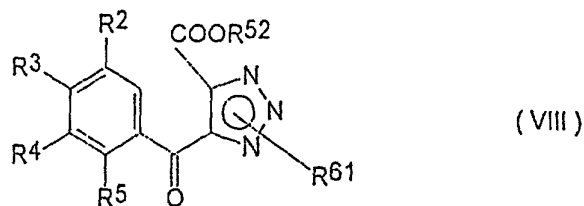
wherein R² to R⁵ and R⁵² are as defined ~~in claims 1 and 13,~~
^{above}

with a compound represented by formula (IV)



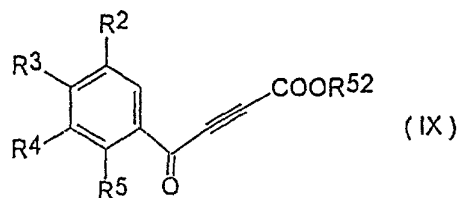
wherein Hal represents a halogen atom, Q represents the group (i) as defined in claim 1, and R³¹ and R³² are as defined above, in the presence of an inorganic base and an alkali metal iodide.

25. A process for preparing a compound represented by formula (VIII)



wherein R^2 to R^5 , R^{52} , and R^{61} are as defined in ~~claims 1, 13, and 18,~~ ^{above} which comprises the step of

(a) reacting a compound represented by formula (IX)



wherein R^2 to R^5 and R^{52} are as defined in ~~claims 1 and 13,~~ ^{above}

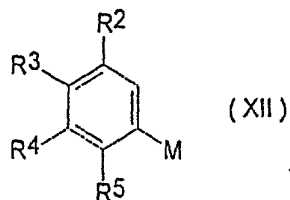
with a compound represented by formula (X)



(X)

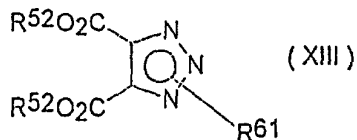
wherein R^{61} is as defined in ~~claim 18,~~ ^{above} or

(b) reacting a compound represented by formula (XII)



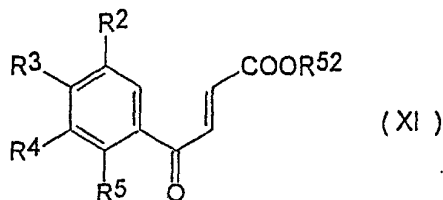
wherein M represents lithium, magnesium chloride, magnesium bromide, magnesium iodide, zinc bromide, zinc iodide, cadmium bromide, iodide cadmium, or copper and R^2 to R^5 are as defined in claim 1,

with a compound represented by formula (XIII)



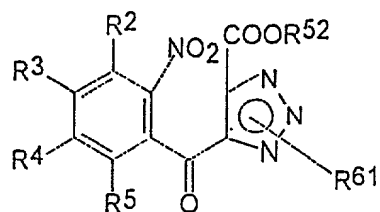
wherein R^{52} and R^{61} are as defined ~~in claims 13 and 14~~ ^{above}

26. A process according to claim 25, which further comprises the step of, prior to the reaction of the compound represented by formula (IX) with the compound represented by formula (X) in step (a), dehydrogenating a compound represented by formula (XI)



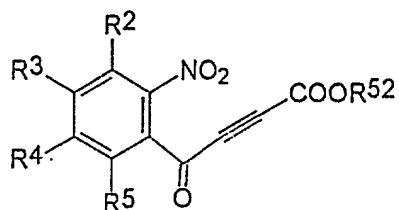
wherein R^2 to R^5 and R^{52} are as defined ~~in claims 1 and 10~~ ^{above} to produce the compound represented by formula (IX).

27. A process for producing a compound represented by formula (XV)



(XV)

wherein R² to R⁵, R⁵², and R⁶¹ are as defined in ~~claims 1, 13 and 18~~ ^{above}, which comprises the step of reacting a compound represented by formula (XVI)



(XVI)

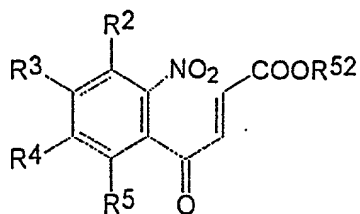
wherein R² to R⁵, and R⁵² are as defined in ~~claims 1 and 13~~ ^{above},

with a compound represented by formula (X)



wherein R⁶¹ is as defined in claim 18.

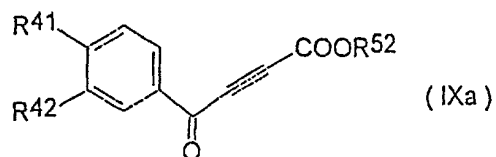
28. A process according to claim 27, which further comprises the step of, prior to the reaction of the compound represented by formula (XVI) with the compound represented by formula (X), a compound represented by formula (XVII)



(XVII)

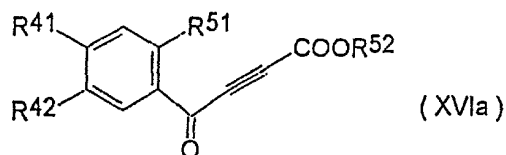
wherein R^3 to R^5 and R^{52} are as defined in ~~claims 1 and 13, above~~
 is dehydrogenated to produce the compound represented by formula (XVI).

29. A compound represented by formula (IXa) or a salt or solvate thereof



wherein R^{41} , R^{42} , and R^{52} are as defined ~~above~~ in claims 6 and 13, provided that R^{41} and/or R^{42} do not represent a hydrogen atom.

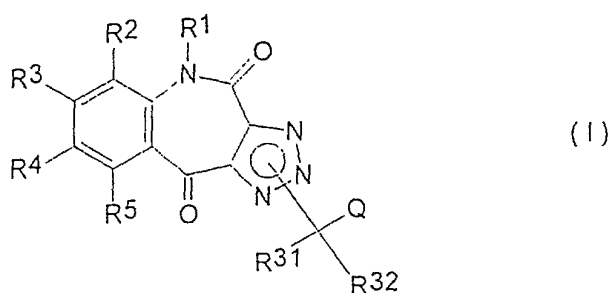
30. A compound represented by formula (XVIa) or a salt or solvate thereof



wherein R^{41} , R^{42} , R^{51} , and R^{52} are as defined in claims 6 and 13.

ABSTRACT

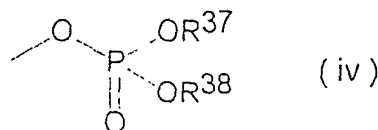
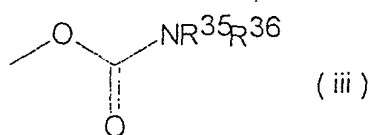
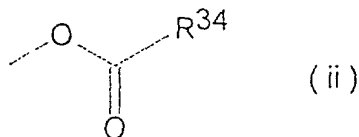
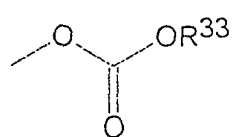
Tricyclic triazolobenzazepine derivatives in the form of a prodrug are provided. The compounds according to the present invention are those represented by formula (I) and pharmacologically acceptable salts and solvates thereof. The compounds are useful as antiallergic agents and exhibit excellent bioavailability.



wherein R^1 represents hydrogen, OH, alkyl or phenyl alkyl,

R^2 , R^3 , R^4 , and R^5 represent hydrogen, halogen, optionally protected hydroxyl, formyl, optionally substituted alkyl, alkenyl, alkoxy or the like, and

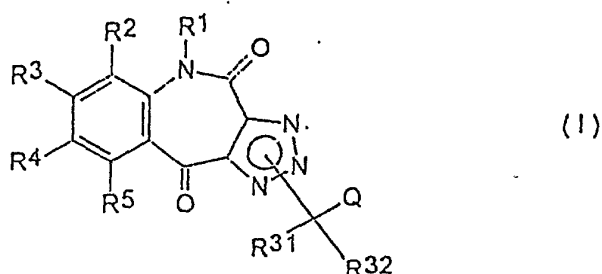
Q represents a group selected from the following groups (i) to (iv), halogen, or alkoxy:



; and

ABSTRACT

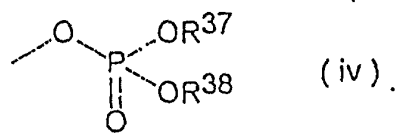
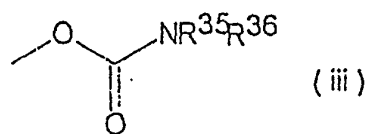
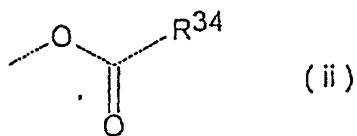
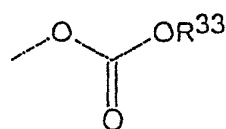
Tricyclic triazolobenzazepine derivatives in the form of a prodrug are provided. The compounds according to the present invention are those represented by formula (I) and pharmacologically acceptable salts and solvates thereof. The compounds are useful as antiallergic agents and exhibit excellent bioavailability.



wherein R¹ represents hydrogen, OH, alkyl or phenyl alkyl,

R², R³, R⁴, and R⁵ represent hydrogen, halogen, optionally protected hydroxyl, formyl, optionally substituted alkyl, alkenyl, alkoxy or the like, and

Q represents a group selected from the following groups (i) to (iv), halogen, or alkoxy:



; and

VERSION WITH MARKINGS TO SHOW CHANGES MADE

Please rewrite the paragraphs from page 19, line 27 to page 20, line 3 as follows:

R⁴¹ and R⁴² represent preferably C₁₋₄ alkoxy, more preferably methoxy or isopropyloxy [isopropyl]. Still more preferably, R⁴¹ represents methoxy, and R⁴² represents methoxy or isopropyloxy [isopropyl].

A group of preferred compounds represented by formula (Ia) include a group of compounds wherein R⁴¹ and R⁴² represent C₁₋₆ alkoxy (preferably C₁₋₄ alkoxy, more preferably methoxy or isopropyloxy [isopropyl]), and Q represents group (i) (preferably, R³³ represents optionally C₁₋₄ alkoxy-substituted C₁₋₄ alkyl).

19. A process for preparing a compound represented by formula (IIa')